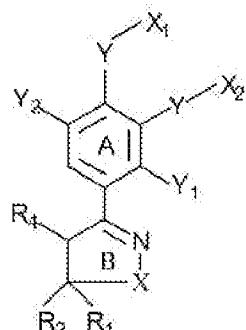


1. (Original) Compounds having the structure of Formula I:



Formula I

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

1) when X is oxygen in Formula I:

R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'  
(wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or  
(CH<sub>2</sub>)<sub>m</sub>C(=O)R<sub>3</sub>

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or

cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, alkyl, C<sub>3</sub>-<sub>6</sub> alkenyl, C<sub>3</sub>-<sub>6</sub> alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R<sub>2</sub> is selected from: cyano; heteroaryl; heterocyclyl; or (CH<sub>2</sub>)<sub>n</sub>NHCOR<sub>7</sub> (wherein n represents an integer 1 to 6 and R<sub>7</sub> can represent hydrogen, alkyl, alkenyl, alkynyl, (un)saturated, cycloalkyl, alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl, (CH<sub>2</sub>)<sub>4</sub>OR' wherein R' is the same as defined above, or NR<sub>x</sub>R<sub>y</sub> wherein R<sub>x</sub> and R<sub>y</sub> are the same as defined above);

R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein R<sub>x</sub> and R<sub>y</sub> are the same as defined above;

X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y is selected from: an oxygen atom; a sulphur atom; or NR (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

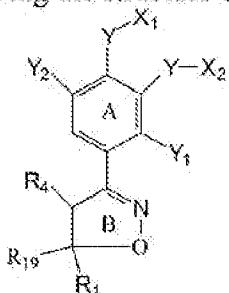
2) when X is NR<sub>8</sub> or S wherein R<sub>8</sub> is hydrogen, lower alkyl (C<sub>1</sub>-C<sub>6</sub>) or aryl;

R<sub>1</sub>, R<sub>4</sub>, X<sub>1</sub>, X<sub>2</sub>, Y, Y<sub>1</sub> and Y<sub>2</sub> are the same as defined above;

R<sub>2</sub> is selected from: (CH<sub>n</sub>NHCOR<sub>7</sub> (wherein n represents an integer 1 to 6 and R<sub>7</sub> is the same as defined above),

with the proviso that when R<sub>2</sub> is heterocycl, R<sub>1</sub> can not be (CH<sub>2</sub>)<sub>1-4</sub>OR', C(=O)NR<sub>x</sub>R<sub>y</sub> or (CH<sub>2</sub>)<sub>m</sub>C(=O)R<sub>3</sub>.

2. (Original) A compound having the structure of Formula XXXIV,



Formula XXXIV

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides

wherein

R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocycl, (heterocycl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocycl; (heteroaryl) alkyl; (heterocycl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'  
(wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocycl, heteroarylalkyl, or heterocyclalkyl); or

(CH<sub>2</sub>)<sub>m</sub>C(=O)R<sub>3</sub>

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected

from the group consisting of N, O and S wherein the ring can be attached to  $(\text{CH}_2)_m \text{C}(=\text{O})$  through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

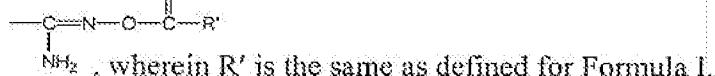
R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein R<sub>x</sub> and R<sub>y</sub> are the same as defined above;

X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

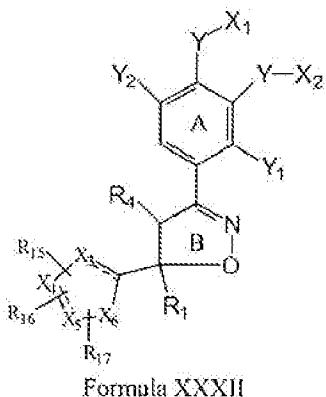
Y is selected from: an oxygen atom; a sulphur atom; or NR (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

R<sub>19</sub> represents -CONHNH<sub>2</sub>, or



3. (Original) The compound of claim 1 having the structure of Formula XXXII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

wherein

$R_1$  is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy;  $COR'$ ;  $COOR'$

(wherein  $R'$  can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl;  $(CH_2)_{1-4}OR'$

(wherein  $R'$  is as defined above, but also including hydroxy);  $C(=O)NR_xR_y$

(wherein  $R_x$  and  $R_y$  can be independently selected from hydrogen, alkyl,  $C_{3-6}$  alkenyl,  $C_{3-6}$  alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and  $R_3$  can be optionally substituted]

$R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through N and  $R_q$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to

$(CH_2)_mC(=O)$  through C) and wherein the substituents of  $R_3$  can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy,

nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein R<sub>x</sub> and R<sub>y</sub> are the same as defined above;

Y is selected from: an oxygen atom; a sulphur atom; or NR.

(wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

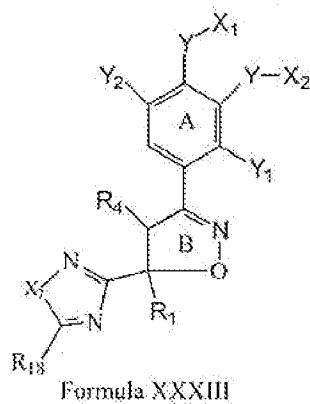
X<sub>1</sub> represents alkyl;

X<sub>2</sub> represents alkyl, cycloalkyl or aralkyl;

X<sub>3</sub>, X<sub>4</sub>, X<sub>5</sub> and X<sub>6</sub> independently represent C, CH, CH<sub>2</sub>, CO, CS, NH, N, O, S; R<sub>15</sub>, R<sub>16</sub>, and R<sub>17</sub> independently represent no atom, alkyl, COCH<sub>3</sub>, COOC<sub>2</sub>H<sub>5</sub>, NH<sub>2</sub>, NH-cyclopropyl, CN, SH; and

---- represents an optional single bond.

4. (Original) The compound of claim 1 having the structure of Formula XXIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

wherein

R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

(wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

(CH<sub>2</sub>)<sub>m</sub>-C(=O)R<sub>3</sub>

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy,

nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R<sub>3</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein R<sub>x</sub> and R<sub>y</sub> are the same as defined above;

X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y is selected from: an oxygen atom; a sulphur atom; or NR

(wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

X<sub>7</sub> represents O or S; and

R<sub>18</sub> represents hydrogen, alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl.

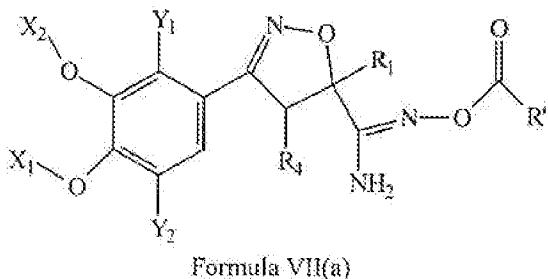
5. (Original) The compound of claim 1 wherein R<sub>2</sub> is cyano.

6. (Original) The compound of claim 1 wherein R<sub>2</sub> is (CH<sub>2</sub>)<sub>n</sub>NHCOR<sub>7</sub>, n represents an integer 1 to 6; and R<sub>7</sub> can represent hydrogen, alkyl, alkenyl, alkynyl, (un)saturated, cycloalkyl, alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl, (CH<sub>2</sub>)<sub>1-4</sub>OR' wherein R' is

the same as defined above, or NR<sub>x</sub>R<sub>y</sub> (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclalkyl).

7. (Original) The compound of claim 1 wherein R<sub>2</sub> is 6-membered heteroaryl.
8. (Original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1, together with at least one pharmaceutically acceptable carrier, excipient or diluent.
9. (Original) A method for treating, preventing, inhibiting or suppressing an inflammatory condition or disease in a patient, comprising administering to the said patient a therapeutically effective amount of a compound of claim 1.
10. (Original) A method for treating, preventing, inhibiting or suppressing an inflammatory condition or disease in a patient, comprising administering to the said patient a therapeutically effective amount of a pharmaceutical composition of claim 8.
11. (Original) A method for the treatment, prevention, inhibition or suppression of AIDS, asthma, arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis, allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis, ulcerative colitis and other inflammatory diseases in a patient comprising administering to said patient a therapeutically effective amount of a compound of claim 1.
12. (Original) A method for the treatment, prevention, inhibition or suppression of AIDS, asthma, arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis, allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis, ulcerative colitis and other inflammatory diseases in a patient comprising administering to said patient a therapeutically effective amount of a pharmaceutical composition of claim 8.

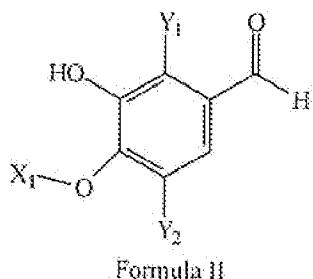
13. (Original) A method for the preparation of compounds of Formula VII (a),



Formula VII(a)

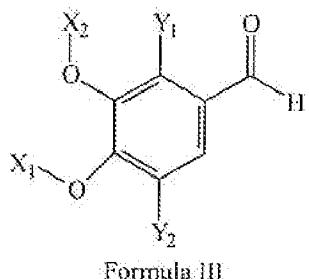
their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula II



Formula II

with a compound of Formula X<sub>2</sub>Z (wherein Z is halogen) to give a compound of Formula III, wherein

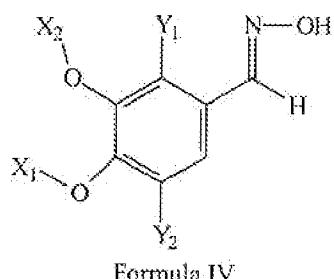


Formula III

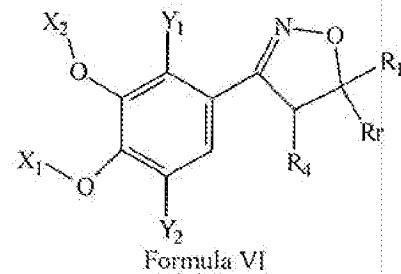
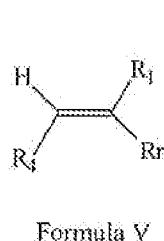
X<sub>1</sub> and X<sub>2</sub> are independently selected from: alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR where R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

reacting the compound of Formula III with hydroxylamine hydrochloride to give a compound of Formula IV;



treating the compound of Formula IV with a compound of Formula V to give a compound of Formula VI



wherein

$R_1$  is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy;  $COR'$ ;  $COOR'$

(wherein  $R'$  can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl;  $(CH_2)_{1-4}OR'$  (wherein  $R'$  is as defined above, but also including hydroxy);  $C(=O)NR_xR_y$

(wherein  $R_x$  and  $R_y$  can be independently selected from hydrogen, alkyl,  $C_{3-6}$  alkenyl,  $C_{3-6}$  alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or  $(CH_2)_m-C(=O)R_3$

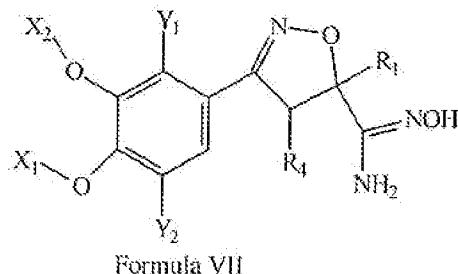
[wherein  $m$  is an integer in the range of 0-2 and  $R_3$  can be optionally substituted  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

ring can be attached to  $(CH_2)_mC(=O)$  through N and R<sub>q</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through C) and wherein the substituents of R<sub>3</sub> can be one or more of alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein R<sub>x</sub> and R<sub>y</sub> are the same as defined above;

and Rr represents [(CH<sub>2</sub>)<sub>n</sub>CN, COOH, COOCH<sub>3</sub>, CHO or pyridyl, wherein n is 0 to 2)];

reacting the compound of Formula VI with hydroxylamine hydrochloride (when Rr is CN) to give a compound of Formula VII; and



Formula VII

reacting the compound of Formula VII with a compound of Formula (R'CO)<sub>2</sub>O to give the compound of Formula VII(a) (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl).

14. (Cancelled)

15. (Cancelled)

16. (Cancelled)

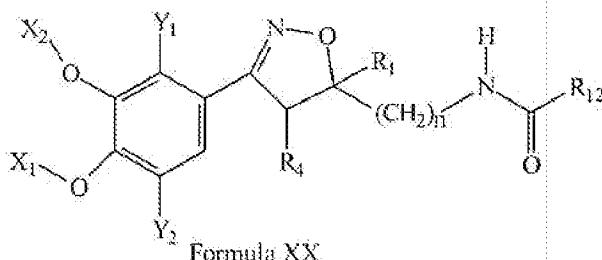
17. (Cancelled)

18. (Cancelled)

19. (Cancelled)

20. (Cancelled)

21. (Original) A method for the preparation of compounds of Formula XX,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides,

wherein

R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

(wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

(CH<sub>2</sub>)<sub>m</sub>-C(=O)R<sub>3</sub>

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered

(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclalkyl};

R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein R<sub>x</sub> and R<sub>y</sub> are the same as defined above;

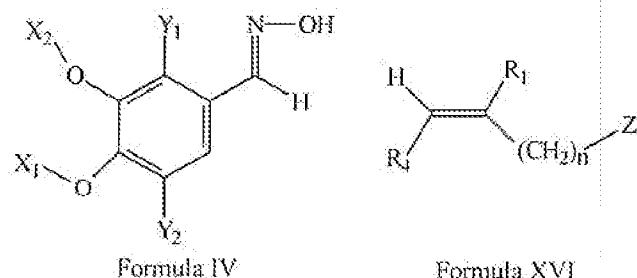
X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

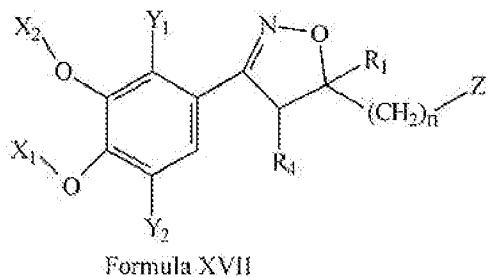
R<sub>12</sub> is alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl;

the method comprising:

reacting a compound of Formula IV with a compound of Formula XVI

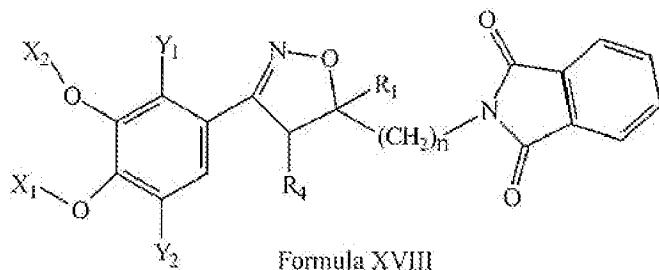


to give a compound of Formula XVII;



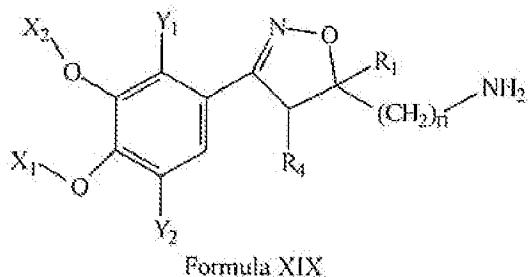
Formula XVII

treating the compound of Formula XVII with potassium phthalamide to give a compound of Formula XVIII;



Formula XVIII

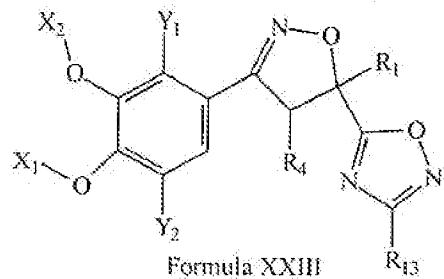
treating the compound of Formula XVIII with a hydrazine hydrate to give a compound of Formula XIX; and



Formula XIX

treating the compound of Formula XIX with a compound of Formula  $R_{12}\text{COCl}$  or  $R_{12}\text{COOH}$  to give the compound of Formula XX.

22. (Original) A method for the preparation of compounds of Formula XXIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides,

wherein

$R_1$  is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy;  $COR'$ ;  $COOR'$

(wherein  $R'$  can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl;  $(CH_2)_{1-4}OR'$  (wherein  $R'$  is as defined above, but also including hydroxy);  $C(=O)NR_xR_y$

(wherein  $R_x$  and  $R_y$  can be independently selected from hydrogen, alkyl,  $C_{3-6}$  alkenyl,  $C_{3-6}$  alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroaryalkyl, or heterocyclalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein  $m$  is an integer in the range of 0-2 and  $R_3$  can be optionally substituted  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through N and  $R_q$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through C) and wherein the substituents of  $R_3$  can be one or more of:

alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from  $C_1-C_6$  alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,  $C(=O)NR_5R_6$  (wherein  $R_5$  and  $R_6$  are independently selected from hydrogen, alkyl,  $C_{3-6}$  alkenyl,  $C_{3-6}$  alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroaryalkyl, or heterocyclalkyl];

$R_4$  is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or  $C(=O)NR_xR_y$  wherein  $R_x$  and  $R_y$  are the same as defined above;

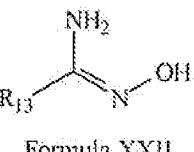
$X_1$  and  $X_2$  are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

$Y_1$  and  $Y_2$  are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further,  $Y_1$  and  $X_2$ ,  $X_1$  and  $Y_2$ ,  $X_1$  and  $X_2$  may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

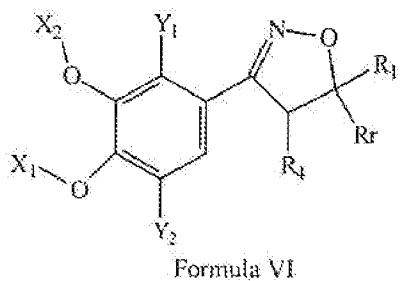
$R_{13}$  is alkyl, aryl or heteroaryl;

the method comprising

reacting compounds of Formula XXI with hydroxylamine hydrochloride to give compounds of Formula XXII,



which on reaction with compounds of Formula VI (when  $R_r$  is COOH),



gives compounds of Formula XXIII.

23. (Cancelled)

24. (Cancelled)
25. (Cancelled)
26. (Cancelled)
27. (Cancelled)
28. (Cancelled)